

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
23 October 2003 (23.10.2003)

(10) International Publication Number
PCT WO 03/087057 A1

(51) International Patent Classification⁷: C07D 213/56,
215/12, 237/08, 307/54, 277/30, 239/26, 239/42, 495/04,
A61K 31/435, 31/495, A61P 35/00, C07D 277/24, 409/04,
213/74, 487/04, 241/20, 251/18, 211/34, 401/04, 403/12,
405/06, 401/06, 417/06, 295/14

SK10 4TG (GB). **WARING, Michael, James** [GB/GB];
AstraZeneca R & D Alderley, Alderley Park, Macclesfield,
Cheshire SK10 4TG (GB).

(21) International Application Number: PCT/GB03/01442

(74) Agent: **ASTRAZENECA**; Global Intellectual Property,
Mereside, Alderley Park, Macclesfield, Cheshire SK10
4TG (GB).

(22) International Filing Date: 2 April 2003 (02.04.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0207863.2 5 April 2002 (05.04.2002) GB
0229930.3 21 December 2002 (21.12.2002) GB

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD,
SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US,
UZ, VC, VN, YU, ZA, ZM, ZW.

(71) Applicant (*for all designated States except MG, US*): **AS-
TRAZENECA AB** [SE/SE]; Sodertalje, S-151 85 (SE).

(71) Applicant (*for MG only*): **ASTRAZENECA UK LIM-
ITED** [GB/GB]; 15 Stanhope Gate, London, Greater Lon-
don W1K 1LN (GB).

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

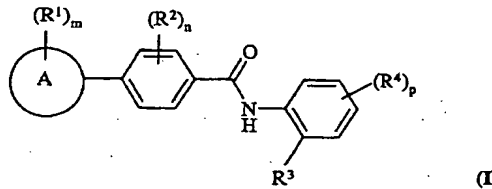
(75) Inventors/Applicants (*for US only*): **STOKES, Elaine,
Sophie, Elizabeth** [GB/GB]; AstraZeneca R & D Alderley,
Alderley Park, Macclesfield, Cheshire SK10 4TG (GB).
ROBERTS, Craig, Anthony [GB/GB]; AstraZeneca
R & D Alderley, Alderley Park, Macclesfield, Cheshire

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: BENZAMIDE DERIVATIVES USEFUL AS HISTONE DEACETYLASE INHIBITORS



(57) Abstract: The invention concerns a compound of the formula (I) wherein Ring A is heterocyclyl; m is 0-4 and each R¹ is a group such as hydroxy, halo, trifluoromethyl and cyano; R² is halo and n is 0-2; and each R⁴ is a group such as hydroxy, halo, trifluoromethyl and cyano; p is 0-4; and R³ is amino or hydroxy; or pharmaceutically-acceptable salts or *in-vivo*-hydrolysable ester or amide thereof processes for their preparation, pharmaceutical compositions containing them and their use in the treatment of diseases or medical conditions mediated by histone deacetylase.